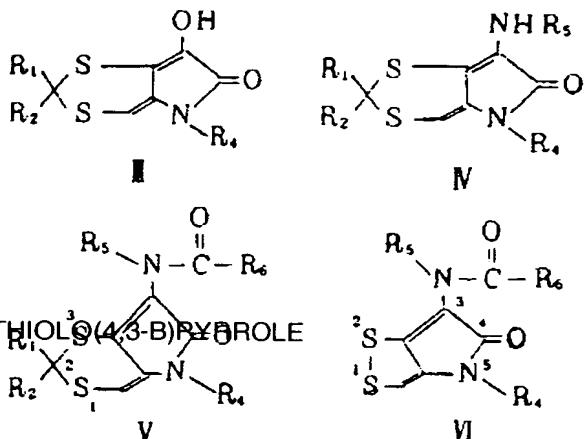
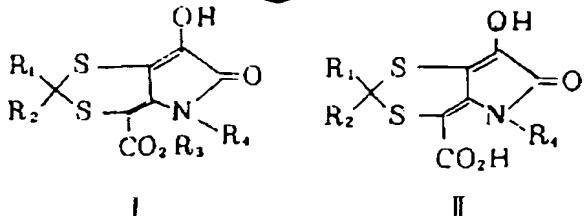


# EUROPEAN PATENT OFFICE

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 INT.CL. : C07D495/04 // A61K 31/40  
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 C07D207:00 )  
 TITLE : PRODUCTION OF  
 3-ACYLAMINO-4-OXO-4,5-DIHYDRO-1,2-DITHIINO[4,3-B]PYRROLE  
 DERIVATIVE

**ABSTRACT :** PURPOSE: To obtain a pyrrothin based antibiotic, holothin based antibiotic, etc., useful for an insecticide, by decarboxylating a specific pyrrole derivative and reacting the product with an amine, etc.

**CONSTITUTION:** A 4-hydroxy-5-oxo-7-alkoxycarbonyl-5,6-dihydro-1,3-dithiino[5,4-b]pyrrole derivative expressed by formula I [R<sub>1</sub> and R<sub>2</sub> represent lower alkyl or (substituted)phenyl; R<sub>3</sub> represents lower alkyl; R<sub>4</sub> represents H or lower alkyl] is hydrolyzed to form a derivative expressed by formula II. Then this derivative is decarboxylated to form a derivative expressed by formula III and reacted with an amine expressed by the formula R<sub>5</sub>-NH<sub>2</sub> (R<sub>5</sub> represents H or lower alkyl) to afford a 4-amino or substituted amino-5-oxo-5,6-dihydro-1,3-dithiino[5,4-b]pyrrole derivative expressed by formula IV. This compound is reacted with a compound expressed by the formula R<sub>6</sub>COHal (R<sub>6</sub> represents R<sub>1</sub> or R<sub>2</sub>), etc., to form a compound expressed by formula V, which is reacted with an oxidizing agent and mineral acid to give the aimed compound expressed by formula VI.

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